

Figure 1A

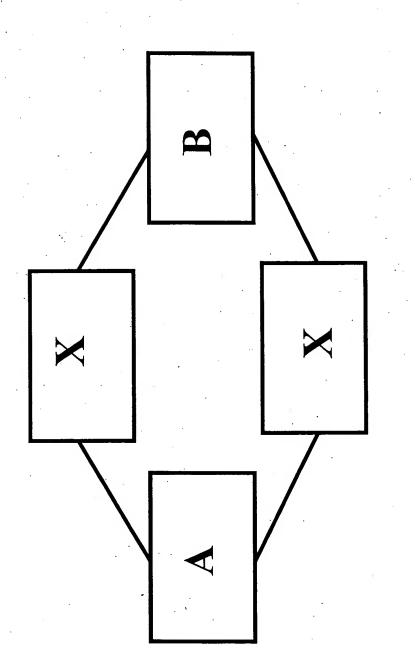
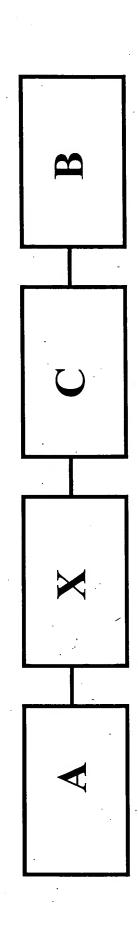


Figure 1B

Figure 2A



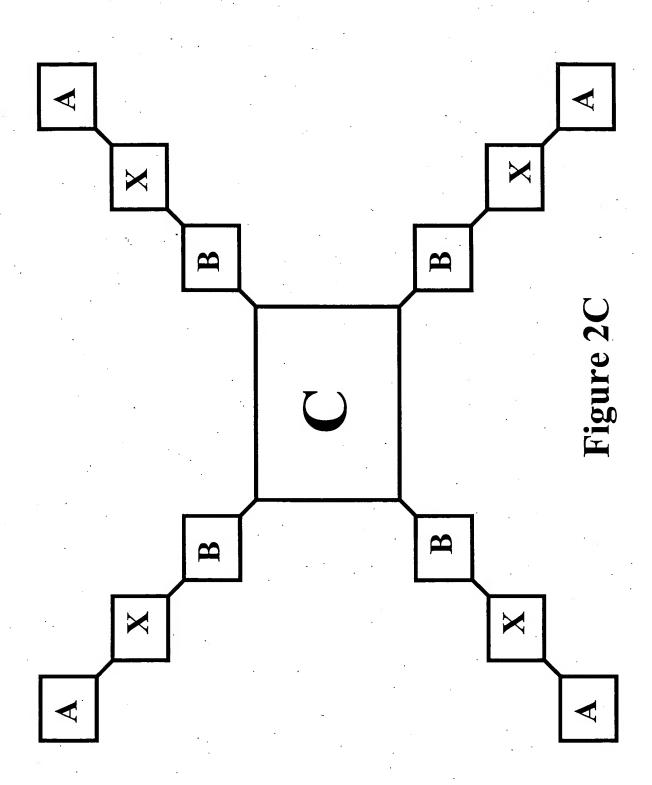
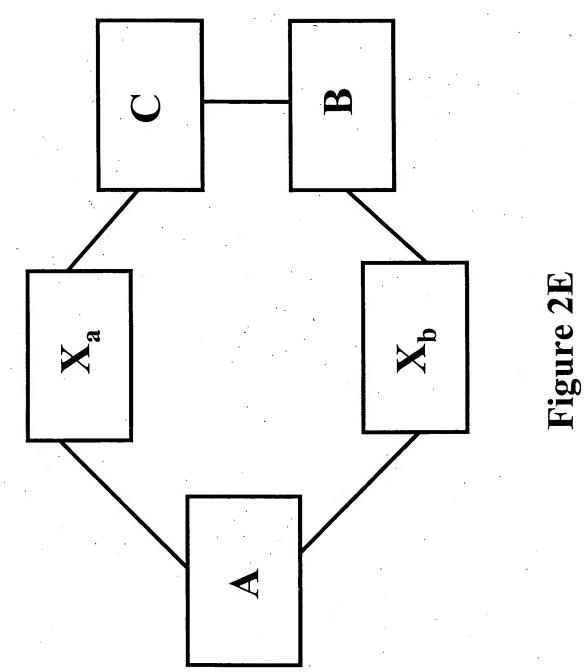


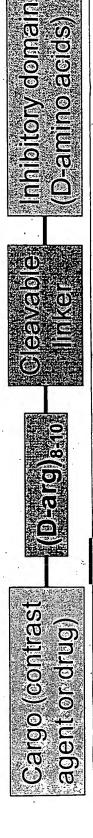
Figure 2D



C M \blacktriangleleft

Figure 2F

Towards imaging and killing tumors



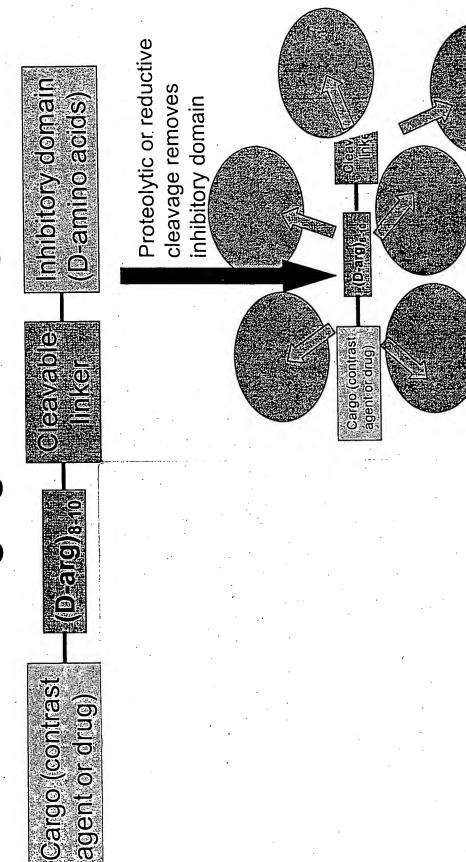
Towards imaging and killing tumors



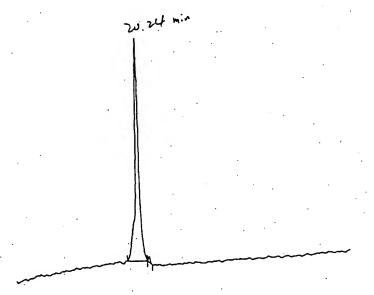
No uptake into normal tissue because of inhibitory domain

Normal fissue

Towards imaging and killing tumors

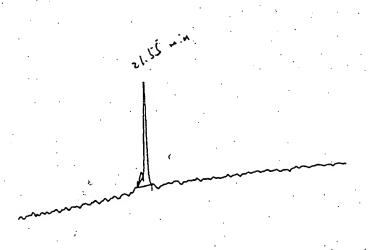


Cancer cells (expressing protease or hypoxic)



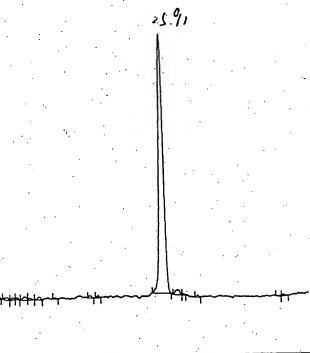
			
10.0	20.0	30.0	40.0

After Enterokinase cleavage:



	20.0	30.0	40.0
10.0	20.0	30.0	

Before MMP-2 cleavage:



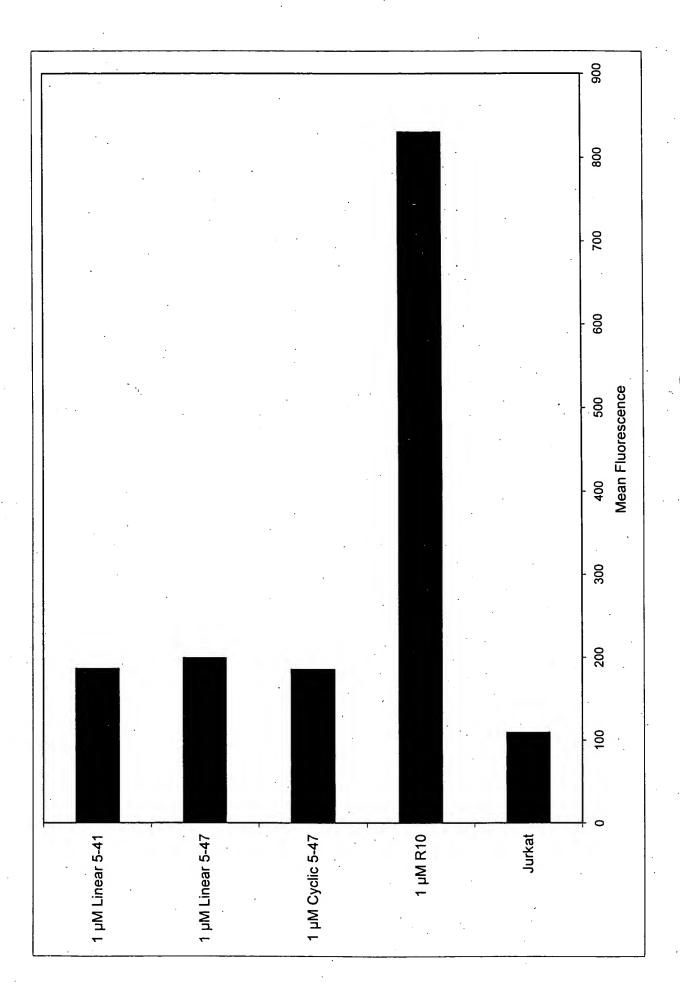
10.0 20.0 30.0 40.0

30.0

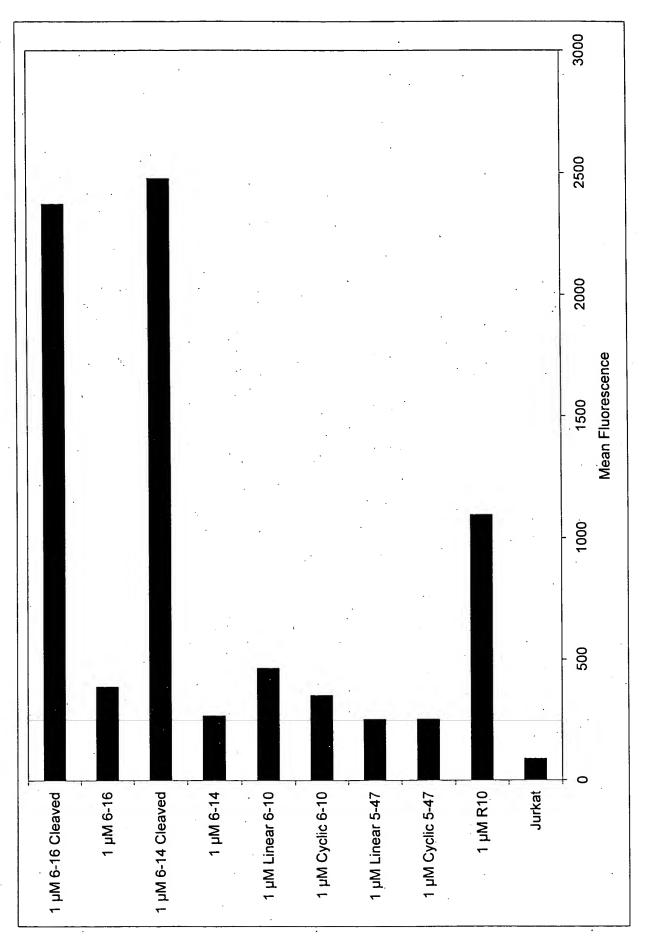
20.0

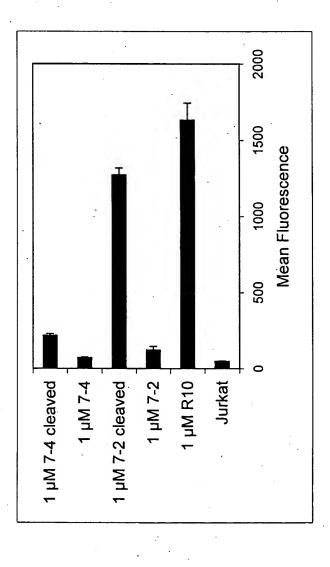
10.0

TR

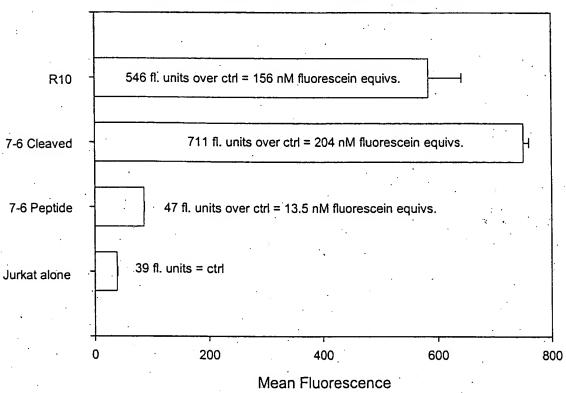


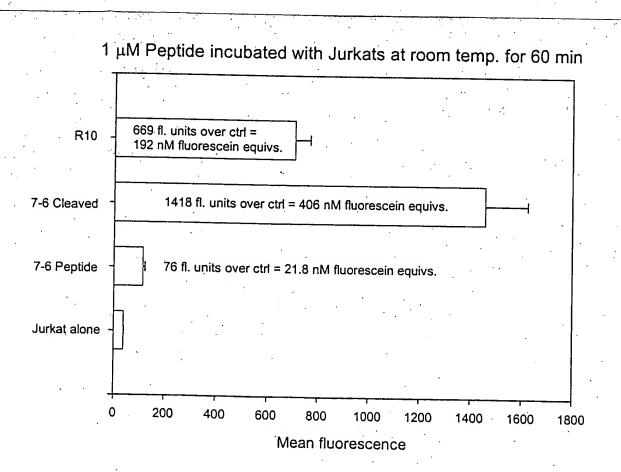
F6 8



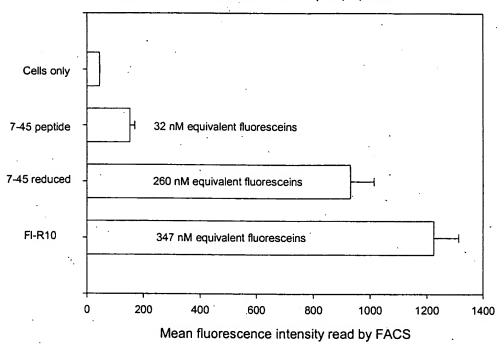


μM Peptide incubated with Jurkats at room temp. for 10 min





FACS analysis of peptide 7-45 uptake into Jurkats, after 10 min incubation with 1 μ M peptides



Peptide 7-45 is H₂N-eeeeeec-CONH₂

FI-rrrrrrc-CONH₂, where the solid line denotes a disulfide bond

Reduction should yield two separate peptides, of which only FI-rrrrrrrrc-CONH2 is detectable by fluorescence

7-45 SLD 10216

F 13

(a)

(d)

(b)

(e)

(c)

(f)

$$CI \xrightarrow{\qquad \qquad NH \qquad \qquad [N]}$$

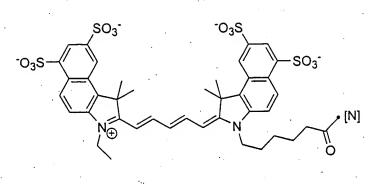
(g)

$$CI \longrightarrow N \longrightarrow N \longrightarrow [N]$$

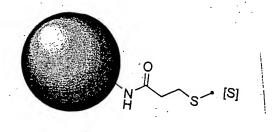
(h)

$$SO_3$$
 N_{\oplus}
 COO
 O
 $[N]$

(i)



(j)



(k)

(1)

(m)

(n)

(a)
$$H_2O_3PO \longrightarrow OPO_3H_2 \\ H_2O_3PO \longrightarrow OPO_3H_2 \\ OPO_3H_2$$

$$R = N CO_2H$$

(b)

$$R = N O OH$$

Figure 15

(i)
$$H_2N-CHC-N-C$$

$$(j) \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C \qquad HO_{2}C$$

(k)
$$\begin{array}{c} CO_2H \\ N \\ N \\ X \end{array}$$

(l)

(n)

$$HO_2C$$
 HO_2C
 HO_2

Action
$$N H^{O}$$

$$X = 2 - 20$$

$$A \subset H \longrightarrow HO_2C \longrightarrow HO_2$$

(p)

$$\mathcal{K} = \begin{bmatrix} N \\ N \end{bmatrix}$$

(q)

Ac-
$$\left[\begin{matrix} & & & & \\ & & & \\ & & & \\ & & & \end{matrix}\right]_{x}^{CO_{2}H}$$

(r)

(S) Eq-CONH-CH-CO- [N]
eq-CONH-(CH2)24

Fig 15-8

Figure 16

(a)
$$R = NH_2$$
 $R = NH_2$
 $R = NH_2$

Figure 17 —

GRKKRRORRRGY-CONH-CH-CONH-CH GRKKRRORRRGY-CONH-CH-CONH-CH S- [S]

(e) [S] -S-CH2-CONH-IRRRKKLRRLK-D[N]

 $\begin{array}{c} & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$

(g)

/7-3

(j)

$$\begin{bmatrix} CO \end{bmatrix} \longrightarrow HN \longrightarrow \begin{bmatrix} NH_2 \\ NH_2 \\ NH_3 \end{bmatrix} \times \begin{bmatrix} CO \end{bmatrix}$$

.

. .(k)

(1)

$$\begin{array}{c} NH_2 \\ NH$$

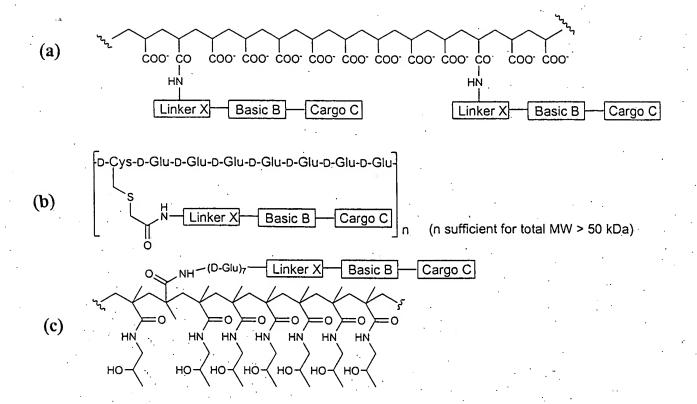


Figure 18